

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
12 February 2004 (12.02.2004)

PCT

(10) International Publication Number  
**WO 2004/012731 A1**

(51) International Patent Classification<sup>7</sup>: **A61K 31/40**,  
31/4025, C07D 207/16, 401/12

only): **SOMMERHOFF, Christian, P.**; Thomassstrasse 7,  
81929 München (DE).

(21) International Application Number:  
PCT/EP2003/008127

(72) Inventors; and  
(75) Inventors/Applicants (for US only): **MARTIN, Thomas**  
[DE/DE]; St.-Martins-Weg 13, 78462 Konstanz (DE). **UL-**  
**RICHT, Wolf-Rüdiger** [DE/DE]; Alpenstr. 2, 78464 Kon-  
stanz (DE).

(22) International Filing Date: 24 July 2003 (24.07.2003)

(25) Filing Language: English

(74) Agent: **WILD, Robert**; c/o ALTANA PHARMA AG,  
Byk-Gulden-Str. 2, 78467 Konstanz (DE).

(26) Publication Language: English

(30) Priority Data:  
02016683.1 26 July 2002 (26.07.2002) EP

(81) Designated States (national): AE, AL, AU, BA, BR, CA,  
CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV,  
MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN,  
YU, ZA, ZW.

(71) Applicant (for all designated States except US): **ALTANA**  
**PHARMA AG** [DE/DE]; Byk-Gulden-Str. 2, 78467 Kon-  
stanz (DE).

(84) Designated States (regional): Eurasian patent (AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,  
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

(72) Inventors (for AE, AL, AM, AT, AU, AZ, BA, BE, BG, BR,  
BY, CA, CH, CN, CO, CY, CZ, DE, DK, DZ, EC, EE, ES,  
FI, FR, GB, GE, GR, HR, HU, ID, IE, IL, IN, IS, IT, JP,  
KG, KR, KZ, LT, LU, LV, MA, MC, MD, MK, MX, NL, NO,  
NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR,  
UA, US, VN, YU, ZA, ZW only): **MARTIN, Thomas**; St.-  
Martins-Weg 13, 78462 Konstanz (DE). **ULRICH, Wolf-**  
**Rüdiger**; Alpenstrasse 2, 78464 Konstanz (DE).

**Declarations under Rule 4.17:**

- as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN,  
IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN,  
UA, VN, YU, ZA, ZW, Eurasian patent (AM, AZ, BY, KG,  
KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH,  
CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
MC, NL, PT, RO, SE, SI, SK, TR)
- of inventorship (Rule 4.17(iv)) for US only

(72) Inventor (for AE, AL, AM, AT, AU, AZ, BA, BE, BG, BR,  
BY, CH, CN, CO, CY, CZ, DE, DK, DZ, EC, EE, ES, FI, FR,  
GB, GE, GR, HR, HU, ID, IE, IL, IN, IS, IT, JP, KG, KR,  
KZ, LT, LU, LV, MA, MC, MD, MK, NL, NO, NZ, PL, PT,  
RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, UA, VN, YU, ZA,  
ZW only): **BÄR, Thomas**; Berggässle 5, 78479 Reichenau  
(DE).

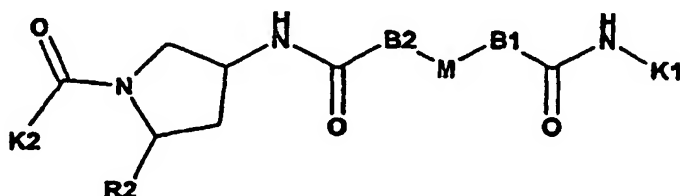
**Published:**

- with international search report
- before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments

(72) Inventor (for AE, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY,  
CH, CN, CO, CY, CZ, DE, DK, DZ, EC, EE, ES, FI, FR, GB,  
GE, GR, HR, HU, ID, IE, IL, IN, IS, IT, JP, KG, KR, KZ, LT,  
LU, LV, MA, MC, MD, MK, MX, NL, NO, NZ, PL, PT, RO,  
RU, SE, SG, SI, SK, TJ, TM, TN, TR, UA, VN, YU, ZA, ZW

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: **PYRROLIDINE DERIVATIVES AS TRYPTASE INHIBITORS**



(1)

(57) **Abstract:** Compounds of a certain formula 1 in which M, B1, B2, R2, K1 and K2 have the meanings indicated in the description are novel effective tryptase inhibitors.